PC99

BolmAr: Borylation(Catalytic)-Imination-Arylation(Catalytic) - A New Synthetic Approach to Promising Alzheimer and Parkinson Drugs

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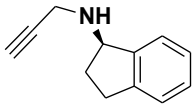
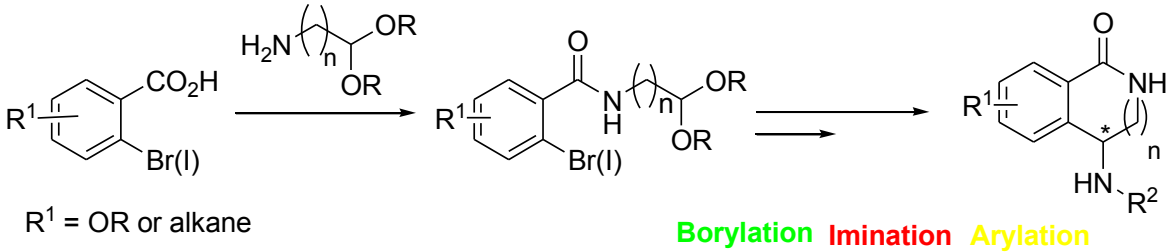
Although dramatic progress has been made in understanding the pathogenesis of neurodegenerative conditions of the aged population such as Alzheimer's disease, Parkinson's disease and Fronto-Temporal dementia, to date most of these diseases are incurable. Because of the aging population, these disorders pose a serious challenge to the health care system. Loss of synapses is probably the common neuropathological feature leading to dementia in these neurodegenerative disorders.[1]

Parkinson's disease is a progressive neurodegenerative condition caused by loss of dopamine producing cells in the substantia located in the basal ganglia causing motor, autonomic and cognitive impairments.[2] Rasagiline is a potent, selective, irreversible inhibitor of monoamine oxidase (MAO) which is an anti-Parkinson drug.[3]

Herein, we present our innovative approach to the synthesis of several chiral amine[4] δ-benzolactam analogues which involves a one-pot borylation-Imination-Arylation (BolmAr) sequence - the last step being a key intramolecular catalytic arylation reaction (**Scheme 1**).



Rasagiline



Scheme 1

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